

## End of Result Set



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L2: Entry 3 of 3

File: USPT

Sep 21, 1999

DOCUMENT-IDENTIFIER: US 5955475 A

TITLE: Process for manufacturing paroxetine solid dispersions

Brief Summary Text (54):

As used herein, the term "pharmaceutically acceptable salt" refers to derivatives of paroxetine wherein paroxetine is modified by making acid addition salts of the compound. Examples of pharmaceutically acceptable salts include, but are not limited to, mineral or organic acid salts of the basic piperidine residue; and the like. The pharmaceutically acceptable salts of paroxetine include conventional non-toxic salts or quaternary ammonium salts, for example, from non-toxic inorganic or organic acids. For example, such conventional non-toxic salts include those derived from inorganic acids such as hydrochloric, hydrobromic, sulfuric, sulfamic, phosphoric, nitric and the like; and the salts prepared from organic acids such as acetic, propionic, succinic, glycolic, stearic, lactic, malic, tartaric, citric, ascorbic, pamoic, maleic, hydroxymaleic, phenylacetic, glutamic, benzoic, salicylic, sulfanilic, 2-acetoxybenzoic, fumaric, toluenesulfonic, methanesulfonic, ethanesulfonic, ethanedisulfonic, oxalic, isethionic, and the like.

10019049/blessing

L10 ANSWER 7 OF 8 USPATFULL

ACCESSION NUMBER: 2001:162866 USPATFULL  
TITLE: Triglyceride-free compositions and methods for improved delivery of hydrophobic therapeutic agents  
INVENTOR(S): Patel, Mahesh V., Salt Lake City, UT, United States  
Chen, Feng-Jing, Salt Lake City, UT, United States  
PATENT ASSIGNEE(S): Lipocine, Inc., Salt Lake City, UT, United States (U.S. corporation)

	NUMBER	KIND	DATE
PATENT INFORMATION:	US 6294192	B1	20010925
APPLICATION INFO.:	US 1999-258654		19990226 (9)
DOCUMENT TYPE:	Utility		
FILE SEGMENT:	GRANTED		
PRIMARY EXAMINER:	Page, Thurman K.		
ASSISTANT EXAMINER:	Channavajjala, Lakshmi		
LEGAL REPRESENTATIVE:	Reed, Dianne E. Reed & Associates		
NUMBER OF CLAIMS:	74		
EXEMPLARY CLAIM:	1		
NUMBER OF DRAWINGS:	1 Drawing Figure(s); 1 Drawing Page(s)		
LINE COUNT:	3094		

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

AB The present invention relates to triglyceride-free pharmaceutical compositions for delivery of hydrophobic therapeutic agents. Compositions of the present invention include a hydrophobic therapeutic agent and a carrier, where the carrier is formed from a combination of a hydrophilic surfactant and a hydrophobic surfactant. Upon dilution with an aqueous solvent, the composition forms a clear, aqueous **dispersion** of the surfactants containing the therapeutic agent. The invention also provides methods of treatment with hydrophobic therapeutic agents using these compositions.

10019049/blessing

L10 ANSWER 8 OF 8 USPATFULL

ACCESSION NUMBER: 2001:93131 USPATFULL

TITLE: Solid carriers for improved delivery of active ingredients in pharmaceutical compositions

INVENTOR(S): Patel, Mahesh V., Salt Lake City, UT, United States  
Chen, Feng-Jing, Salt Lake City, UT, United States

PATENT ASSIGNEE(S): Lipocine, Inc., Salt Lake City, UT, United States (U.S. corporation)

	NUMBER	KIND	DATE
PATENT INFORMATION:	US 6248363	B1	20010619
APPLICATION INFO.:	US 1999-447690		19991123 (9)
DOCUMENT TYPE:	Utility		
FILE SEGMENT:	GRANTED		
PRIMARY EXAMINER:	Spear, James M.		
LEGAL REPRESENTATIVE:	Reed, Dianne E. Reed & Associates		
NUMBER OF CLAIMS:	57		
EXEMPLARY CLAIM:	1		
NUMBER OF DRAWINGS:	4 Drawing Figure(s); 4 Drawing Page(s)		
LINE COUNT:	3302		

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

AB The present invention provides solid pharmaceutical compositions for improved delivery of a wide variety of pharmaceutical active ingredients contained therein or separately administered. In one embodiment, the solid pharmaceutical composition includes a solid carrier, the solid carrier including a substrate and an encapsulation coat on the substrate. The encapsulation coat can include different combinations of pharmaceutical active ingredients, hydrophilic surfactant, lipophilic surfactants and triglycerides. In another embodiment, the solid pharmaceutical composition includes a solid carrier, the solid carrier being formed of different combinations of pharmaceutical active ingredients, hydrophilic surfactants, lipophilic surfactants and triglycerides. The compositions of the present invention can be used for improved delivery of hydrophilic or hydrophobic pharmaceutical active ingredients, such as drugs, nutrionals, cosmeceuticals and diagnostic agents.

10019049/blessing

L10 ANSWER 4 OF 8 USPATFULL

ACCESSION NUMBER: 2002:102031 USPATFULL

TITLE: Compositions and methods for improved delivery of ionizable hydrophobic therapeutic agents

INVENTOR(S): Chen, Feng-Jing, Salt Lake City, UT, United States  
Patel, Mahesh V., Salt Lake City, UT, United States

PATENT ASSIGNEE(S): Lipocine, Inc., Salt Lake City, UT, United States (U.S. corporation)

	NUMBER	KIND	DATE
PATENT INFORMATION:	US 6383471	B1	20020507
APPLICATION INFO.:	US 1999-287043		19990406 (9)
DOCUMENT TYPE:	Utility		
FILE SEGMENT:	GRANTED		
PRIMARY EXAMINER:	Bawa, Raj		
LEGAL REPRESENTATIVE:	Reed, Dianne E., Reed & Associates		
NUMBER OF CLAIMS:	114		
EXEMPLARY CLAIM:	1		
NUMBER OF DRAWINGS:	0 Drawing Figure(s); 0 Drawing Page(s)		
LINE COUNT:	3051		

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

AB The present invention is directed to a pharmaceutical composition including a hydrophobic therapeutic agent having at least one ionizable functional group, and a carrier. The carrier includes an ionizing agent capable of ionizing the functional group, a surfactant, and optionally solubilizers, triglycerides, and neutralizing agents. The invention further relates to a method of preparing such compositions by providing a composition of an ionizable hydrophobic therapeutic agent, an ionizing agent, and a surfactant, and neutralizing a portion of the ionizing agent with a neutralizing agent. The compositions of the invention are particularly suitable for use in oral dosage forms.

10019049/blessing

L10 ANSWER 6 OF 8 CAPLUS COPYRIGHT 2003 ACS

ACCESSION NUMBER: 2001:12137 CAPLUS

DOCUMENT NUMBER: 134:61565

TITLE: Solid and semi-solid formulations of paroxetine with increased stability and bioavailability

INVENTOR(S): Rosenberg, Joerg; Breitenbach, Joerg; Liepold, Bernd

PATENT ASSIGNEE(S): Knoll A.-G., Germany

SOURCE: Ger. Offen., 4 pp.

CODEN: GWXXBX

DOCUMENT TYPE: Patent

LANGUAGE: German

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
DE 19930454	A1	20010104	DE 1999-19930454	19990702
WO 2001001956	A2	20010111	WO 2000-EP5848	20000623
WO 2001001956	A3	20010712		
W: AU, BR, CA, CN, JP, US				
RW: AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE				
EP 1189614	A2	20020327	EP 2000-942125	20000623
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, FI				

PRIORITY APPLN. INFO.:

DE 1999-19930454 A 19990702

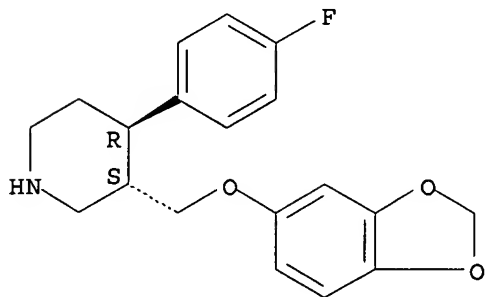
WO 2000-EP5848 W 20000623

AB The invention concerns solid and semi-solid formulations of paroxetine and its physiol. acceptable salts that contain the mol.-dispersed active substance in a matrix composed of a synthetic **polymer** with glass transition temp. > 90.degree.C. The invention also concerns the prepn. of the formulations. 80% Of the active substance is bioavailable within 30 min after dosage. Paroxetine or its salt is mixed and melted with the matrix material in the extruder, followed by the forming procedure. In another version paroxetine, **ammonium chloride** and matrix material are coextruded. The product is used for the prepn. of tablets. Thus 30 wt./wt.% paroxetine hydrochloride and 70 wt./wt.% copovidon (N-vinylpyrrolidone-vinylacetate copolymer 60/40) were processed in a twin-screw extruder at 145.degree.C. The product was used as for the formulation of tablets with the following compn. in wt./wt.%: paroxetine hydrochloride extrudate 38; microcryst. cellulose 15; calciumhydrogen phosphate 35; sodium-croscarmellose 10; highly disperse silica 1; magnesium stearate 1.

10019049/blessing

L1 ANSWER 1 OF 1 REGISTRY COPYRIGHT 2003 ACS  
RN 61869-08-7 REGISTRY  
CN Piperidine, 3-[(1,3-benzodioxol-5-yloxy)methyl]-4-(4-fluorophenyl)-,  
(3S,4R)- (9CI) (CA INDEX NAME)  
OTHER CA INDEX NAMES:  
CN Piperidine, 3-[(1,3-benzodioxol-5-yloxy)methyl]-4-(4-fluorophenyl)-,  
(3S-trans)-  
OTHER NAMES:  
CN (-)-Paroxetine  
CN (-)-trans-4-(4-Fluorophenyl)-3-(3,4-methylenedioxyphenoxymethyl)piperidine  
CN Aropax  
CN BRL 29060  
CN FG 7051  
CN **Paroxetine**  
CN Paxil  
FS STEREOSEARCH  
DR 63952-24-9  
MF C19 H20 F N O3  
CI COM  
LC STN Files: ADISINSIGHT, ADISNEWS, AGRICOLA, ANABSTR, BEILSTEIN\*,  
BIOBUSINESS, BIOSIS, BIOTECHNO, CA, CANCERLIT, CAPLUS, CASREACT, CBNB,  
CEN, CHEMCATS, CIN, DDFU, DIOGENES, DRUGNL, DRUGPAT, DRUGU, DRUGUPDATES,  
EMBASE, IPA, MEDLINE, MRCK\*, NIOSHTIC, PHAR, PROMT, RTECS\*, SYNTHLINE,  
TOXCENTER, ULIDAT, USAN, USPAT2, USPATFULL  
(\*File contains numerically searchable property data)  
Other Sources: WHO

Absolute stereochemistry. Rotation (-).



**\*\*PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT\*\***

1197 REFERENCES IN FILE CA (1962 TO DATE)  
24 REFERENCES TO NON-SPECIFIC DERIVATIVES IN FILE CA  
1199 REFERENCES IN FILE CAPLUS (1962 TO DATE)

100596398/blessing

DT Patent

LA German

FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	DE 19930454	A1	20010104	DE 1999-19930454	19990702
	WO 2001001956	A2	20010111	WO 2000-EP5848	20000623
	WO 2001001956	A3	20010712		
	W: AU, BR, CA, CN, JP, US				
	RW: AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE				
	EP 1189614	A2	20020327	EP 2000-942125	20000623
	R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, FI				

PRAI DE 1999-19930454 A 19990702

WO 2000-EP5848 W 20000623

AB The invention concerns solid and semi-solid formulations of **paroxetine** and its physiol. acceptable salts that contain the mol.-dispersed active substance in a matrix composed of a synthetic polymer with glass transition temp. > 90.degree.C. The invention also concerns the prepn. of the formulations. 80% Of the active substance is bioavailable within 30 min after dosage. **Paroxetine** or its salt is mixed and melted with the matrix material in the extruder, followed by the forming procedure. In another version **paroxetine**, **ammonium chloride** and matrix material are coextruded. The product is used for the prepn. of tablets. Thus 30 wt./wt.% **paroxetine** hydrochloride and 70 wt./wt.% copovidon (N-vinylpyrrolidone-vinylacetate copolymer 60/40) were processed in a twin-screw extruder at 145.degree.C. The product was used as for the formulation of tablets with the following compn. in wt./wt.%: **paroxetine** hydrochloride extrudate 38; microcryst. cellulose 15; calciumhydrogen phosphate 35; sodium-croscarmellose 10; highly disperse silica 1; magnesium stearate 1.

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100596398/blessing

L4 ANSWER 1 OF 2 CAPLUS COPYRIGHT 2003 ACS

AN 2002:977660 CAPLUS

DN 138:29184

TI A process for preparing paroxetine hydrochloride limiting formation of pink compounds

IN Avrutov, Ilya; Pilarski, Gideon

PA Teva Pharmaceutical Industries Ltd., Israel; Teva Pharmaceuticals USA, Inc.

SO PCT Int. Appl., 25 pp.

CODEN: PIXXD2

DT Patent

LA English

FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 2002102382	A1	20021227	WO 2002-US19016	20020614
	WO 2002102382	C2	20030306		
	W:	AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM			
	RW:	GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG			

PRAI US 2001-298603P P 20010614

US 2001-326993P P 20011005

US 2002-346048P P 20020104

AB The present invention provides a process for prepg. **paroxetine** -HCl (I) from **paroxetine** base which provides I substantially free of pink-colored compds. or an impurity identified by an HPLC RRT of about 1.5. The processes utilize a buffer, a molar ratio of HCl to **paroxetine** base of <1, and crystallize/recrystallize in the presence of an effective amt. of an anti-oxidant. A preferred way to create a buffer is by using **ammonium chloride**. A preferred anti-oxidant is ascorbic acid. The present invention also provides for re-crystg. I prepd. by the above methods or any other methods in the presence of an effective amt. of an anti-oxidant such as ascorbic acid. A preferred solvent system for recrystn. is a mixt. of acetone and methanol. Processes of the present invention can combine these various features. An aq. soln. of **ammonium chloride** in water was added to a soln. of **paroxetine** base in toluene. The reaction mixt. was intensively stirred at ambient temp. while concd. HCl was added in such manner that the pH of the reaction mixt. stayed between 3.5 and 8. A ppt. formed which was filtered and then washed with toluene and water. The resulting material was dried at 60.degree. under vacuum to give I. The soln. did not develop a pink color after standing for 20 min.

RE.CNT 9 THERE ARE 9 CITED REFERENCES AVAILABLE FOR THIS RECORD  
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 2 OF 2 CAPLUS COPYRIGHT 2003 ACS

AN 2001:12137 CAPLUS

DN 134:61565

TI Solid and semi-solid formulations of paroxetine with increased stability and bioavailability

IN Rosenberg, Joerg; Breitenbach, Joerg; Liepold, Bernd

PA Knoll A.-G., Germany

SO Ger. Offen., 4 pp.

CODEN: GWXXBX